1 1. (Original) Compounds having the structure of Formula I:

5 Formula I

17 --

and their pharmaceutically acceptable salts, pharmaceutically acceptable solvates, esters, polymorphs, enantiomers, diastereomers, N-oxides, prodrugs or metabolites, wherein

T is a five to seven membered heterocyclic ring, substituted heterocyclic ring, aryl, substituted aryl, bound to the ring C with a linker W, and further substituted by a group represented by **R**, wherein R is H, C₁₋₆ alkyl, F, Cl, Br, I, -CN, COR₅, COOR₅, N(R₆,R₇), NHCOC(R₈, R₉, R₁₀), CON(R₆, R₇), CH₂NO₂, NO₂, CH₂R₈, CHR₉, -CH=N-OR₁₀, -C=CH-R₅, OR₅, SR₅, -C(R₉)=C(R₉)NO₂, C₁₋₁₂ alkyl substituted with one or more F, Cl, Br, I, OR₄, SR₄, wherein R₄ is hydrogen, C₁₋₁₂ alkyl, C₃₋₁₂ cycloalkyl, C₁₋₆ alkoxy, aryl, heteroaryl, C₁₋₆ alkoxycarbonyl or C₁₋₆ alkyl substituted with one or more of F, Cl, Br, I or OH; R₅ is H, C₁₋₁₂ alkyl, C₃₋₁₂ cycloalkyl, C₁₋₆ alkoxy, C₁₋₆ alkyl substituted with one or more of F, Cl, Br, I or OH, aryl or heteroaryl; R₆ and R₇ are independently H, optionally substituted C₁₋₁₂ alkyl, C₃₋₁₂ cycloalkyl, C₁₋₆ alkoxy; R₈ and R₉ are independently H, C₁₋₆ alkyl, F, Cl, Br, I, C₁₋₁₂ alkyl substituted with one or more of F, Cl, Br and I, OR₅, SR₄, N(R₆,R₇); R₁₀= H, optionally substituted C₁₋₁₂ alkyl, C₃₋₁₂ cycloalkyl, C₁₋₆ alkoxy, C₁₋₆ alkyl, aryl or heteroaryl; and

n is an integer in the range from 0 to 3;

X is CH, CH-S, CH-O, N or CHNR₁₁, wherein R_{11} is hydrogen, optionally substituted C_{1-12} alkyl, C_{3-12} cycloalkyl, C_{1-6} alkoxy, C_{1-6} alkyl, C_{1-6} alkylcarboxyl, aryl or heteroaryl;

E is hydrogen, hydroxy or lower alkyl (C_1-C_4) ;

- Y and \mathbb{Z} are independently hydrogen, C_{1-6} alkyl, C_{3-12} cycloalkyl or C_{0-3} bridging
- 2 groups;
- U and V are independently hydrogen, optionally substituted C_{1-6} alkyl, F, Cl, Br, I, C_{1-6}
- 4 alkyl substituted with one or more of F, Cl, Br, I;
- 5 W is $(CH_2)_{0-n'}$, CO, CH_2NH , $-NHCH_2$, $-CH_2NHCH_2$, $-CH_2-N(R_{11})CH_2-$, $CH_2(R_{11})N-$,
- 6 CH(R₁₁), S, CH₂(CO), NH, O, NR₁₁, (CO)CH₂, N(R₁₁)CON(R₁₁),
- N(R_{11})C(=S)N(R_{11}), SO₂, SO, wherein n' is an integer in the range from 0 to 3; R_{11} is
- 8 hydrogen, optionally substituted C_{1-12} alkyl, C_{3-12} cycloalkyl, C_{1-6} alkoxy, C_{1-6} alkyl,
- 9 C₁₋₆ alkylcarbonyl, C₁₋₆ alkylcarboxy, aryl or heteroaryl; and
- 10 \mathbf{R}_1 is -NHC(=O) \mathbf{R}_2 , N(\mathbf{R}_3 , \mathbf{R}_4), OR₃, -NR₂C(=S) \mathbf{R}_3 , -NR₂C(=S)SR₃, wherein R₂ is
- hydrogen, C_{1-12} alkyl, C_{3-12} cycloalkyl, C_{1-6} alkoxy, C_{1-6} alkyl substituted with one or
- more of F, Cl, Br, I, OH; R_3 , R_4 are independently hydrogen, C_{1-12} alkyl, C_{3-12}
- cycloalkyl, C_{1-6} alkoxy, aryl, heteroaryl, C_{1-6} alkoxycarbonyl or C_{1-6} alkyl substituted
- with one or more of F, Cl, Br, I or OH.
 - 2. (Original) Compounds having the structure of Formula II:

Formula II

- and their pharmaceutically acceptable salts, pharmaceutically acceptable solvates,
- esters, enantiomers, diastereomers, N-oxides, polymorphs, prodrugs or metabolites,
- 8 wherein

1

5

- 9 R_1 is $-NHC(=O)R_2$, $-N(R_3,R_4)$, $-NR_2C(=S)R_3$, $-NR_2C(=S)SR_3$ or $-OR_3$ wherein R_2 .
- $R_3,\,R_4 \ \text{are independently hydrogen},\,C_{1\text{--}12} \ \text{alkyl},\,C_{3\text{--}12} \ \text{cycloalkyl},\,C_{1\text{--}6} \ \text{alkoxy, aryl},$
- heteroaryl, C₁₋₆ alkoxycarbonyl or C₁₋₆ alkyl substituted with one or more of F, Cl, Br,
- 12 I or OH;

- U and V are independently hydrogen, optionally substituted C₁₋₆ alkyl, F, Cl, Br, C₁₋₈
- 14 ₁₂ alkyl substituted with one or more of F, Cl, Br, I;
- Y and **Z** are independently hydrogen, C_{1-6} alkyl, C_{3-12} cycloalkyl, C_{0-3} bridging group;
- 16 X is CH, CH-S, CH-O, N or CHNR₁₁, wherein R₁₁ is hydrogen, optionally substituted
- 17 C_{1-12} alkyl, C_{3-12} cycloalkyl, C_{1-6} alkoxy, C_{1-6} alkyl carbonyl, C_{1-6} alkylcarboxy, aryl
- or heteroaryl;
- 19 **E** is hydrogen, hydroxy or lower alkyl (C_1-C_4) ;
- 20 W is $(CH_2)_{0-n'}$, C=O, CH_2NH , $NHCH_2$, CH_2NHCH_2 , $CH_2N(R_{11})$, CH_2 , $CH_2N(R_{11})$,
- 21 CH(R₁₁), S, CH₂(C=O), NH, O, (CO)CH₂, N(R₁₁)CON(R₁₁), SO₂, SO, NR₁₁,
- $N(R_{11})C(=S)N(R_{11})$, wherein n' is an integer in the range from 0 to 3; R_{11} is hydrogen,
- optionally substituted C_{1-12} alkyl, C_{3-12} cycloalkyl, C_{1-6} alkoxy, C_{1-6} alkyl carbonyl,
- C_{1-6} alkylcarboxy, aryl or heteroaryl;
- Q₁ is O, S or NR_{11} , wherein R_{11} is as defined above;
- 26 G, J, L are independently H, C₁₋₆ alkyl, F, Cl, Br, I, -CN, COR₅, COOR₅, N(R₆,R₇),
- NHCOC(R_8 , R_9 , R_{10}), CON (R_6 , R_7), CH₂NO₂, NO₂, CH₂R₈, CHR₉, -CH = N-OR₁₀, -
- C=CH-R₅, OR₅, SR₅, -C(R₉)=C(R₉)NO₂, C_{1-12} alkyl substituted with one or more of F.
- Cl, Br and I, OR₄, SR₄, wherein R₄ is as defined above; R₅ is H, C₁₋₁₂ alkyl, C₃₋₁₂
- 30 cycloalkyl, C₁₋₆ alkoxy, C₁₋₆ alkyl substituted with one or more of F, Cl, Br, I or OH,
- aryl or heteroaryl; R₆ and R₇ are independently H, optionally substituted C₁₋₁₂ alkyl.
- 32 C₃₋₁₂ cycloalkyl, C₁₋₆ alkoxy; R₈ and R₉ are independently H, C₁₋₆ alkyl, F, Cl, Br, I,
- C₁₋₁₂ alkyl substituted with one or more of F, Cl, Br, I, OR₅, SR₄, N(R₆,R₇); R_{10} = H,
- optionally substituted C_{1-12} alkyl, C_{3-12} cycloalkyl, C_{1-6} alkoxy, C_{1-6} alkyl, aryl or
- 35 heteroaryl; and
- n is an integer in the range from 0 to 3.
- 1 3. (Original) A compound according to claim 2, wherein in Formula II, ring C is 6-8
- 2 membered in size and the ring may have either two or three carbon atoms between
- 3 each nitrogen atom, comprising:

and the ring C may be bridged to form a bicyclic system as shown below:

4. (Original) A compound according to claim 2, wherein in Formula II, ring C is substituted at positions Y and Z with alkyl groups, cycloalkyl groups, fluoro group, carboxylic and corresponding esters, amides, substituted alkyls or bridging alkyl groups as shown below:

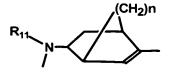
5.
 2

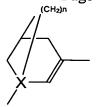
5. (Original) A compound according to claim 2, wherein in Formula II, ring C is 6-membered in size and X is -CH-(NHR), or -CHCH₂NHR-, the ring C is selected form the group consisting of the following rings wherein R₁₁ is as defined earlier,

or in addition to the above, the ring C also includes the following structures:

8 —x (CH₂)n

9 10 (CH₂)n





- 11 wherein n is as defined earlier.
- 1 6. (Cancelled)
- 1 7. (Cancelledl)
- 1 8. (Original) A compound selected from the group consisting of:
- 2 (S)-N-[[3-[3-Fluoro-4-[N-1-{2-furyl(5-nitro)methyl}],2,5,6-tetrahydropyrid-4-yl]
- phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide (Compound No. 1)
- 4 (S)-N-[[3-[3-Fluoro- 4-[N-1-{2-thienyl (5-nitro) methyl)}]1,2,5,6-tetrahydropyrid-4-
- 5 yl]phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide (Compound No. 2)
- 6 (S)-N-[[3-[3-Fluoro-4-[N-1-{2-thienoyl(5-nitro)}-1,2,5,6-tetrahydropyrid-4-
- 7 yl]phenyl]-2-oxo-5-oxazolidinyl]methyl] acetamide (Compound No. 3)
- 8 5(S)-Isoxazol-3-yl-amino-(N-t-butoxycarbonyl)-N-methyl-3-[3-Fluoro-4-[N-1-(5-
- 9 nitro-2-furyl)methyl]1,2,5,6-tetrahydropyrid-4-yl]phenyl]oxazolidin-2-one
- (Compound No. 4)
- 5(S)-Isoxazol-3-yl-aminomethyl-3-[3-Fluoro-4-[N-1-(5-nitro-2-furyl)methyl]1,2,5,6-
- tetrahydropyrid-4-yl]phenyl]oxazolidin-2-one (Compound No. 5).
- 1 9. (Original) A pharmaceutical composition comprising a compound of claims 1, 2, or 8
- and a pharmaceutical acceptable carrier.
- 1 10. (Cancelled)
- 1 11. (Original) A method of treating or preventing microbial infections in a mammal
- 2 comprising administering to said mammal, the pharmaceutical composition according
- 3 to claim 9.
- 1 12. (Original) The method according to claim 11, wherein the microbial infections are
- 2 caused by gram-positive and gram-negative bacteria.

- 1 13. (Original) The method according to claim 12, wherein the gram-positive bacteria are 2 selected from the group consisting of staphylococcus spp., streptococcus spp.,
- 3 enterococci spp., bacillus spp., corynebacterium spp., clostridia spp.,
- peptostreptococcus spp., listeria spp. and legionella spp. 4
- (Original) A method of treating or preventing aerobic and anaerobic bacterial 1 14. 2 infections in a mammal comprising administering to said mammal, a therapeutically 3 effective amount of a compound having the structure of Formula I

7 Formula I

n is an integer in the range from 0 to 3;

11

12

13

14 15

16

17

18

19

20

21

22

23

24

8 and its pharmaceutically acceptable salts, pharmaceutically acceptable solvates, 9 esters, enantiomers, diastereomers, N-oxides, polymorphs, prodrugs or metabolites, 10 wherein

T is a five to seven membered heterocyclic ring, substituted heterocyclic ring, aryl, substituted aryl, bound to the ring C with a linker W, and are further substituted by a group represented by R, wherein R is H, C₁₋₆ alkyl, F, Cl, Br, I, -CN, COR₅, COOR₅, $N(R_6,R_7)$, $NHCOC(R_8,R_9,R_{10})$, $CON(R_6,R_7)$, CH_2NO_2 , NO_2 , CH_2R_8 , CHR_9 , -CH=N-1OR₁₀, -C=CH-R₅, OR₅, SR₅, -C(R₉)=C(R₉)NO₂, C₁₋₁₂ alkyl substituted with one or more of F, Cl, Br, I, OR₄, SR₄, wherein R₄ is hydrogen, C_{1-12} alkyl, C_{3-12} cycloalkyl, C_{1-6} alkoxy, aryl, heteroaryl, C_{1-6} alkoxycarbonyl or C_{1-6} alkyl substituted with one or more of F, Cl, Br, I or OH; R₅ is H, C₁₋₁₂ alkyl, C₃₋₁₂ cycloalkyl, C₁₋₆ alkoxy, C₁₋₆ alkyl substituted with one or more of F, Cl, Br, I or OH, aryl or heteroaryl; R₆ and R₇ are independently H, optionally substituted C_{1-12} alkyl, C_{3-12} cycloalkyl, C_{1-6} alkoxy; R₈ and R₉ are independently H, C₁₋₆ alkyl, F, Cl, Br, I, C₁₋₁₂ alkyl substituted with one or more of F, Cl, Br and I, OR₅, SR₄, N(R₆,R₇); R_{10} = H, optionally substituted C_{1-12} alkyl, C₃₋₁₂ cycloalkyl, C₁₋₆ alkoxy, C₁₋₆ alkyl, aryl or heteroaryl; and

- 25 X is CH, CH-S, CH-O, N or CHNR₁₁, wherein R₁₁ is hydrogen, optionally substituted
- C₁₋₁₂ alkyl, C_{3-12} cycloalkyl, C_{1-6} alkoxy, C_{1-6} alkyl, C_{1-6} alkylcarbonyl, C_{1-6}
- alkylcarboxy, aryl or heteroaryl;
- E is hydrogen, hydroxy or lower alkyl (C_1-C_4) ;
- Y and Z are independently hydrogen, C_{1-6} alkyl, C_{3-12} cycloalkyl or C_{0-3} bridging
- 30 groups;

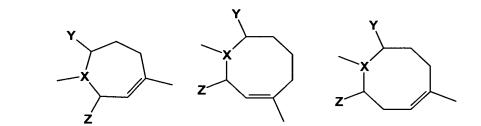
- U and V are independently hydrogen, optionally substituted C_{1-6} alkyl, F, Cl, Br, I, C_{1-6}
- 32 alkyl substituted with one or more of F, Cl, Br, I;
- 33 W is (CH₂)_{0-n'}, CO, CH₂NH, -NHCH₂, -CH₂NHCH₂, -CH₂-N(R₁₁)CH₂-, CH₂(R₁₁)N-,
- 34 CH(R₁₁), S, CH₂(CO), NH, O, NR₁₁, (CO)CH₂, N(R₁₁)CON(R₁₁),
- N(R₁₁)C(=S)N(R₁₁), SO₂, SO, wherein n' is an integer in the range from 0 to 3; R_{11} is
- hydrogen, optionally substituted C₁₋₁₂ alkyl, C₃₋₁₂ cycloalkyl, C₁₋₆ alkoxy, C₁₋₆ alkyl,
- C_{1-6} alkylcarbonyl, C_{1-6} alkylcarboxy, aryl or heteroaryl; and
- 38 R_1 is NHC(=0) R_2 , N(R_3 , R_4), OR₃, -NR₂C(=S) R_3 , -NR₂C(=S)SR₃, wherein R₂ is
- hydrogen, C₁₋₁₂ alkyl, C₃₋₁₂ cycloalkyl, C₁₋₆ alkoxy, C₁₋₆ alkyl substituted with one or
- more of F, Cl, Br, I, OH; R₃, R₄ are independently hydrogen, C₁₋₁₂ alkyl, C₃₋₁₂
- 41 cycloalkyl, C_{1-6} alkoxy, aryl, heteroaryl, C_{1-6} alkoxycarbonyl or C_{1-6} alkyl substituted
- with one or more of F, Cl, Br, I or OH.
- 1 15. (Original) A method of treating or preventing aerobic and anaerobic bacterial
- 2 infections in mammal comprising administering to said mammal, a therapeutically
- 3 effective amount of a compound having the structure of Formula II

Formula II

- 8 and its pharmaceutically acceptable salts, pharmaceutically acceptable solvates,
- 9 esters, enantiomers, diastereomers, N-oxides, polymorphs, prodrugs or metabolites,
- 10 wherein
- 11 R_1 is $-NHC(=O)R_2$, $-N(R_3,R_4)$, $-NR_2C(=S)R_3$, $-NR_2C(=S)SR_3$ or $-OR_3$, wherein R_2 ,
- 12 R₃, R₄ are independently hydrogen, C₁₋₁₂ alkyl, C₃₋₁₂ cycloalkyl, C₁₋₆ alkoxy, aryl,
- heteroaryl, C₁₋₆ alkoxycarbonyl or C₁₋₆ alkyl substituted with one or more of F, Cl, Br,
- 14 I or OH;
- U and V are independently hydrogen, optionally substituted C₁₋₆ alkyl, F, Cl, Br, C₁.
- 16 ₁₂ alkyl substituted with one or more of F, Cl, Br, I;
- Y and **Z** are independently hydrogen, C_{1-6} alkyl, C_{3-12} cycloalkyl, C_{0-3} bridging group;
- 18 X is CH, CH-S, CH-O, N or CHNR₁₁, wherein R₁₁ is hydrogen, optionally substituted
- 19 C_{1-12} alkyl, C_{3-12} cycloalkyl, C_{1-6} alkoxy, C_{1-6} alkyl carbonyl, C_{1-6} alkylcarboxy, aryl
- or heteroaryl;
- E is hydrogen, hydroxy or lower alkyl (C_1-C_4) ;
- 22 W is $(CH_2)_{0-n}$, C=O, CH_2NH , $NHCH_2$, CH_2NHCH_2 , $CH_2N(R_{11})CH_2$, $CH_2N(R_{11})$,
- 23 $CH(R_{11})$, S, $CH_2(C=O)$, NH, O, $(CO)CH_2$, $N(R_{11})CON(R_{11})$, SO₂, SO, NR_{11} ,
- $N(R_{11})C(=S)N(R_{11})$, wherein n' is an integer in the range from 0 to 3; R_{11} is hydrogen,
- optionally substituted C₁₋₁₂ alkyl, C₃₋₁₂ cycloalkyl, C₁₋₆ alkoxy, C₁₋₆ alkyl carbonyl,
- C_{1-6} alkylcarboxy, aryl or heteroaryl;
- Q₁ is O, S or NR_{11} , wherein R_{11} is as defined above;
- G, J, L are independently H, C₁₋₆ alkyl, F, Cl, Br, I, -CN, COR₅, COOR₅, N(R₆,R₇),
- NHCOC(R_8, R_9, R_{10}), CON(R_6, R_7), CH₂NO₂, NO₂, CH₂R₈, CHR₉, -CH=N-OR₁₀, -
- 30 C=CH-R₅, OR₅, SR₅, -C(R₉)=C(R₉)NO₂, C_{1-12} alkyl substituted with one or more of F,
- 31 Cl, Br and I, OR₄, SR₄, wherein R₄ is as defined above; R₅ is H, C₁₋₁₂ alkyl, C₃₋₁₂
- 32 cycloalkyl, C₁₋₆ alkoxy, C₁₋₆ alkyl substituted with one or more of F, Cl, Br, I or OH,
- aryl or heteroaryl; R_6 and R_7 are independently H, optionally substituted C_{1-12} alkyl,
- C₃₋₁₂ cycloalkyl, C₁₋₆ alkoxy; R₈ and R₉ are independently H, C₁₋₆ alkyl, F, Cl, Br, I,
- 35 C_{1-12} alkyl substituted with one or more of F, Cl, Br, I, OR₅, SR₄, N(R₆,R₇); R₁₀= H,

- optionally substituted C_{1-12} alkyl, C_{3-12} cycloalkyl, C_{1-6} alkoxy, C_{1-6} alkyl, aryl or heteroaryl; and
- n is an integer in the range from 0 to 3.

1 16. (Original) The method according to claim 15 wherein in Formula II, the ring C is 6-8
2 membered in size and the ring may have either two or three carbon atoms between
3 each nitrogen atom, comprising



8 and the ring C may be bridged to form a bicyclic system as shown below:

17. (Original) The method according to claim 15, wherein in Formula II, the ring C is substituted at positions Y and Z with alkyl groups, cycloalkyl groups, fluoro group, carboxylic and corresponding esters, amides, substituted alkyls or bridging alkyl groups as shown below:

- 1 18. (Original) The method according to claim 15, wherein in Formula II, the ring C is 6-membered in size and X is -CH-(NHR), or -CHCH₂NHR-, the ring C is selected from the group consisting of the following rings wherein R₁₁ is as defined earlier,
- - or in addition to the above, the ring C also includes the following structures:
- 9
 10
 -x
 (CH₂)n
 -x
 (CH₂)n
 (CH₂)n

 - 1 19. (Cancelled)

1 20. (Cancelledl)

21. (Original) A process for preparing compounds of Formula I:

5 Formula I

and their pharmaceutically acceptable salts, pharmaceutically acceptable solvates, esters, enantiomers, diastereomers, N-oxides, polymorphs, prodrugs or metabolites, wherein

T is a five to seven membered heterocyclic ring, substituted heterocyclic ring, aryl, substituted aryl, bound to the ring C with a linker W, and further substituted by a group represented by \mathbf{R} , wherein R is H, C₁₋₆ alkyl, F, Cl, Br, I, -CN, COR₅, COOR₅, N(R₆,R₇), NHCOC(R₈,R₉,R₁₀), CON(R₆,R₇), CH₂NO₂, NO₂, CH₂R₈, CHR₉, -CH=N-OR₁₀, -C=CH-R₅, OR₅, SR₅, -C(R₉)=C(R₉)NO₂, C₁₋₁₂ alkyl substituted with one or more of F, Cl, Br, I, OR₄, SR₄, wherein R₄ is hydrogen, C₁₋₁₂ alkyl, C₃₋₁₂ cycloalkyl, C₁₋₆ alkoxy, aryl, heteroaryl, C₁₋₆ alkoxycarbonyl or C₁₋₆ alkyl substituted with one or more of F, Cl, Br, I or OH; R₅ is H, C₁₋₁₂ alkyl, C₃₋₁₂ cycloalkyl, C₁₋₆ alkoxy, C₁₋₆ alkyl substituted with one or more F, Cl, Br, I or OH, aryl or heteroaryl; R₆ and R₇ are independently H, optionally substituted C₁₋₁₂ alkyl, C₃₋₁₂ cycloalkyl, C₁₋₆ alkoxy; R₈ and R₉ are independently H, C₁₋₆ alkyl, F, Cl, Br, I, C₁₋₁₂ alkyl substituted with one or more of F, Cl, Br and I, OR₅, SR₄, N(R₆,R₇); R₁₀= H, optionally substituted C₁₋₁₂ alkyl, C₃₋₁₂ cycloalkyl, C₁₋₆ alkoxy, C₁₋₆ alkoxy, C₁₋₆ alkyl, aryl or heteroaryl;

n is an integer in the range from 0 to 3;

X is CH, CH-S, CH-O, N or CHNR₁₁, wherein R₁₁ is hydrogen, optionally substituted C_{1-12} alkyl, C_{3-12} cycloalkyl, C_{1-6} alkoxy, C_{1-6} alkyl, C_{1-6} alkylcarboxy, aryl or heteroaryl;

E is hydrogen, hydroxy or lower alkyl (C_1-C_4) ;

27	${f Y}$ and ${f Z}$ are independently hydrogen, ${f C}_{{f l}-{f 6}}$ alkyl, ${f C}_{{f 3}-{f 12}}$ cycloalkyl or ${f C}_{{f 0}-{f 3}}$ bridging
28	groups;

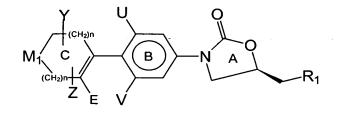
U and V are independently hydrogen, optionally substituted C₁₋₆ alkyl, F, Cl, Br, I, C₁₋₃ 30 alkyl substituted with one or more of F, Cl, Br, I;

31 W is (CH₂)_{0-n'}, CO, CH₂NH, -NHCH₂, -CH₂NHCH₂, -CH₂-N(R₁₁)CH₂-, CH₂(R₁₁)N-,
32 CH(R₁₁), S, CH₂(CO), NH, O, NR₁₁, (CO)CH₂, N(R₁₁)CON(R₁₁),

 $N(R_{11})C(=S)N(R_{11})$, SO_2 , SO, wherein n' is an integer in the range from 0 to 3; R_{11} is hydrogen, optionally substituted C_{1-12} alkyl, C_{3-12} cycloalkyl, C_{1-6} alkoxy, C_{1-6} alkylcarboxy, aryl or heteroaryl; and

 R_1 is -NHC(=O) R_2 , N(R_3 , R_4), OR $_3$, -NR $_2$ C(=S) R_3 , -NR $_2$ C(=S)SR $_3$, wherein R $_2$ is hydrogen, C $_{1-12}$ alkyl, C $_{3-12}$ cycloalkyl, C $_{1-6}$ alkoxy, C $_{1-6}$ alkyl substituted with one or more of F, Cl, Br, I, OH; R $_3$, R $_4$ are independently hydrogen, C $_{1-12}$ alkyl, C $_{3-12}$ cycloalkyl, C $_{1-6}$ alkoxy, aryl, heteroaryl, C $_{1-6}$ alkoxycarbonyl or C $_{1-6}$ alkyl substituted with one or more of F, Cl, Br, I or OH;

comprising reacting an amine compound of Formula V



45 Formula V

with a heteroaromatic compound of Formula R-T-W-R₁₂, wherein M_1 is selected from the group consisting of NH, NHR₁₃, -CH₂NR₁₃, wherein R₁₃ is H, ethyl, methyl, isopropyl, acetyl, cyclopropyl, alkoxy and R, T, W, R₁,U, V, Y, Z and E are as defined earlier and R₁₂ is a suitable leaving group selected from the group consisting of fluoro, chloro, bromo, SCH₃, -SO₂CH₃, -SO₂CF₃, Tos, OC₆H₅, -COOH or -CHO.

- 1 22 (Original) The process according to claim 21 for preparing compounds of Formula I,
- 2 wherein W=CH₂ and R-T-W-R₁₂ is a heteroaromatic compound with an aldehyde
- group and the compound of Formula I is produced by reductive amination.
- 1 23. (Original) The process according to claim 21 for preparing compounds of Formula I,
- wherein W=CO and the amine compound of Formula V is acylated with activated
- 3 esters in the presence of condensing agents selected from the group consisting of 1,3-
- 4 dicylohexylcarbodiimide (DCC) and 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide
- 5 (EDC).
- 1 24. (Original) A process for preparing compounds of Formula II

Formula II

- 5 and their pharmaceutically acceptable salts, pharmaceutically acceptable solvates,
- 6 esters, enantiomers, diastereomers, N-oxides, polymorphs, prodrugs or metabolites,
- 7 wherein
- 8 R_1 is -NHC(=O) R_2 , -N(R_3 , R_4), -NR₂C(=S) R_3 , -NR₂C(=S)SR₃ or -OR₃, wherein R_2 ,
- 9 R₃, R₄ are independently hydrogen, C₁₋₁₂ alkyl, C₃₋₁₂ cycloalkyl, C₁₋₆ alkoxy, aryl,
- heteroaryl, C₁₋₆ alkoxycarbonyl or C₁₋₆ alkyl substituted with one or more of F, Cl, Br, I
- 11 or OH;
- U and V are independently hydrogen, optionally substituted C₁₋₆ alkyl, F, Cl, Br, C₁₋₁₂
- alkyl substituted with one or more of F, Cl, Br, I;
- Y and **Z** are independently hydrogen, C₁₋₆ alkyl, C₃₋₁₂ cycloalkyl, C₀₋₃ bridging group;
- 15 X is CH, CH-S, CH-O, N or CHNR₁₁, wherein R₁₁ is hydrogen, optionally substituted
- 16 C_{1-12} alkyl, C_{3-12} cycloalkyl, C_{1-6} alkoxy, C_{1-6} alkyl carbonyl, C_{1-6} alkylcarboxy, aryl or
- 17 heteroaryl;
- 18 **E** is hydrogen, hydroxy or lower alkyl (C_1-C_4) ;

19 W is $(CH_2)_{0-n'}$, C=O, CH_2NH , $NHCH_2$, CH_2NHCH_2 , $CH_2N(R_{11})CH_2$, $CH_2N(R_{11})$, CH(R₁₁), S, CH₂(C=O), NH, O, (CO)CH₂, N(R₁₁)CON(R₁₁), SO₂, SO, NR₁₁, 20 21 $N(R_{11})C(=S)N(R_{11})$, wherein n' is an integer in the range from 0 to 3; R_{11} is hydrogen, 22 optionally substituted C₁₋₁₂ alkyl, C₃₋₁₂ cycloalkyl, C₁₋₆ alkoxy, C₁₋₆ alkyl carbonyl, C₁ 6 alkylcarboxy, aryl or heteroaryl; 23 Q_1 is O, S or NR₁₁, wherein R₁₁ is as defined above; 24 G, J, L are independently H, C₁₋₆ alkyl, F, Cl, Br, I, -CN, COR₅, COOR₅, N(R₆,R₇), 25 $NHCOC(R_8,R_9,R_{10})$, $CON(R_6,R_7)$, CH_2NO_2 , NO_2 , CH_2R_8 , CHR_9 , $-CH=N-OR_{10}$, -26 27 C=CH-R₅, OR₅, SR₅, -C(R₉)=C(R₉)NO₂, C_{1-12} alkyl substituted with one or more of F, 28 Cl, Br and I, OR₄, SR₄; wherein R₄ is the same as above; R₅ is H, C₁₋₁₂ alkyl, C₃₋₁₂ 29 cycloalkyl, C₁₋₆ alkoxy, C₁₋₆ alkyl substituted with one or more of F, Cl, Br, I or OH, 30 aryl or heteroaryl; R₆ and R₇ are independently H, optionally substituted C₁₋₁₂ alkyl, 31 C₃₋₁₂ cycloalkyl, C₁₋₆ alkoxy; R₈ and R₉ are independently H, C₁₋₆ alkyl, F, Cl, Br, I, C₁₋₈ 32 12 alkyl substituted with one or more of F, Cl, Br, I, OR₅, SR₄, N(R₆,R₇); R₁₀= H, 33 optionally substituted C_{1-12} alkyl, C_{3-12} cycloalkyl, C_{1-6} alkoxy, C_{1-6} alkyl, aryl or 34 heteroaryl; and

n is an integer in the range from 0 to 3;

35

36 comprising reacting a compound of Formula V

37
$$M_{1} C B N A$$

$$Z E V$$

40 Formula V

41 with a heteroaromatic compound of Formula VI

44 Formula VI

45

46

47

48

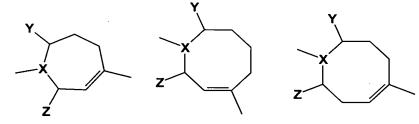
4

5

6

wherein M₁ is NH, NHR₁₃, -CH₂NR₁₃, wherein R₁₃ is H, ethyl, methyl, isopropyl, acetyl, cyclopropyl, alkoxy and R, T, W, R₁,U, V, Y, Z, G, J, L, n, Q₁ and E are as defined earlier and R₁₂ is a suitable leaving group selected from the group consisting of fluoro, chloro, bromo, SCH₃, -SO₂CH₃, -SO₂CF₃, Tos, OC₆H₅, -COOH or -CHO.

1 25. (Original) The process according to claim 24 for preparing compounds of Formula II, 2 wherein ring C is 6-8 membered in size and the ring may have either two or three 3 carbon atoms between each nitrogen atom, comprising:



and the ring C may be bridged to form a bicyclic system as shown below:

1 26. (Original) The process according to claim 24 for preparing compounds of Formula II,
2 wherein ring C is substituted at positions Y and Z with alkyl groups, cycloalkyl
3 groups, fluoro group, carboxylic and corresponding esters, amides, substituted alkyls
4 or bridging alkyl groups as shown below:

27. (Original) The process according to claim 24 for preparing compounds of Formula II, wherein ring C is 6-membered in size and X is -CH-(NHR), or -CHCH₂NHR-, the ring C is selected from the group consisting of the following rings wherein R₁₁ is as defined earlier;

or in addition to the above, the ring C also includes the following structures:

- 28. (Cancelled)
- 29. (Cancelled)
- 30. (Original) The process of claim 24, wherein the amine of Formula V reacts with a heteroaromatic compound of Formula VI in a solvent selected from the group consisting of dimethylformamide, dimethylacetamide, ethanol and ethylene glycol.
- 31. (Original) The process of claim 24, wherein the reaction of amine of Formula V with a heteroaromatic compound of Formula VI is carried out in the presence of a base selected from the group consisting of triethylamine, diisopropylamine, potassium carbonate and sodium bicarbonate.
- 32. (Original) The process of claim 24, wherein the reaction is carried out at a temperature ranging from about -70°C to about 180°C.
- 33. The process of claim 24, wherein the heteroaromatic compound of Formula VI is furaldehyde.
- 34. The process of claim 24, wherein the heteroaromatic compoundd of Formula VI is 2-furoic acid.